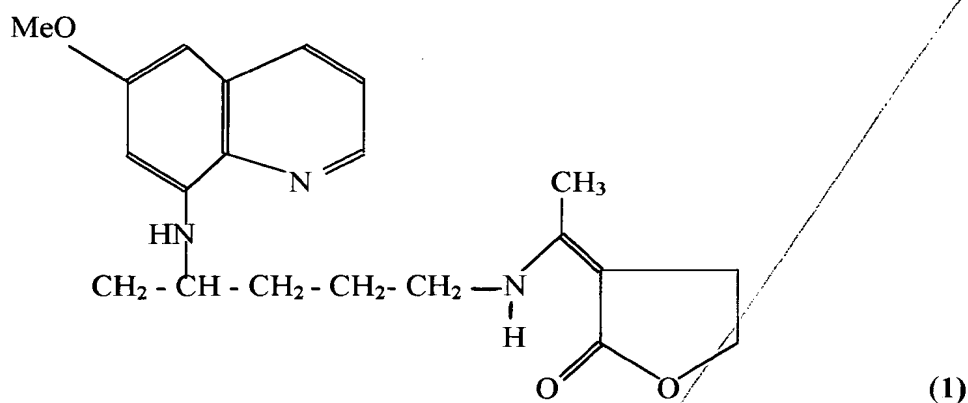


We claim:

1. A method of treatment of malaria in animals, particularly humans which comprises administering to said animals, particularly humans, a primaquine derivative of formula 1



or a pharmaceutical composition containing said primaquine derivative of formula (1), wherein the enaminone functionality of said derivative has gametocytocidal activity and low toxicity and is used as a transmission blocker.

2. A method as claimed in claim 1, wherein said derivative facilitates controlled delivery of amino drugs.
3. A method as claimed in claim 1 wherein said derivative has slow metabolic degradation through the side chain modification.
4. A method as claimed in claim 1, wherein said derivative has a enaminone functional group to provide resistance towards hydrolytic cleavage at acidic pH as compared to the plain enamine.
5. A method as claimed in claim 1, wherein said derivative has enhanced lipophilic character to facilitate better penetration in the tissue especially in the liver where hypnozoites reside.
6. A method as claimed in claim 1, wherein said derivative has a high therapeutic index ratio in terms of methaemoglobin formation.
7. A method as claimed in claim 1, wherein said derivative causes substantially lesser oxidation of glutathione (GSH).

8. A method of treatment of malaria using a primaquine derivative N¹- (3-ethylidinetetrahydrofuran-2-one)-N⁴- (6-methoxy-8-quinolinyl)-1,4-pentanediamine as a gametocytocidal agent.

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A process for the preparation of primaquine derivative of formula 1 which comprises reacting 8-(4-amino-1-methylbutylamino)-6-methoxy quinoline (Primaquine) with 3-acetyl- τ -butyrolactone in presence of a base in catalytic amount to provide the required product.

10. A process as claimed in claim 9 wherein said compound of formula (1) is enaminone N¹ - (3-ethylidinetetrahydrofuran-2-one) - N⁴ - (6-methoxy -8 -quinolinyl) -1,4 - pentanediamine